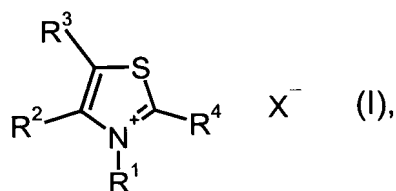


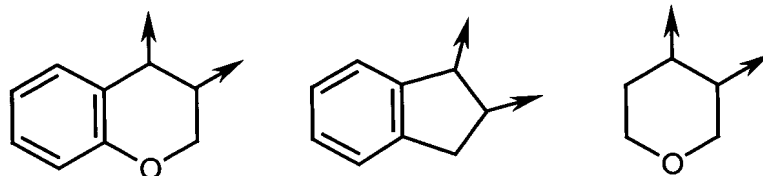
WHAT IS CLAIMED IS:

1. A compound of the formula (I)



in which

- 5 R¹ represents methyl, ethyl, n-propyl, isopropyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, or benzyl that is optionally substituted by halogen, nitro, C₁-C₄-alkyl, or C₁-C₄-alkoxy,
- 10 R² represents C₁-C₄-alkyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally substituted by halogen, NO₂, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkylsulfonyl, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy, C₁-C₄-alkoxycarbonyl, C₁-C₄-halogenoalkoxycarbonyl, C₁-C₄-alkylcarbonyloxy, or C₁-C₄-halogenoalkylcarbonyloxy, benzyl that is
- 15 optionally substituted by halogen, nitro, C₁-C₄-alkyl, or C₁-C₄-alkoxy, or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, C₁-C₄-alkyl, or C₁-C₄-halogenoalkyl,
- 20 R³ represents hydrogen, methyl, or ethyl, or R² and R³ together represent -(CH₂)_n- that is optionally substituted by halogen, NO₂, carboxyl, carbonyl, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkoxy, or C₁-C₄-halogenoalkoxy or the optionally halogen-, NO₂-, C₁-C₄-alkyl-, C₁-C₄-halogenoalkyl-, C₁-C₄-alkoxy-, or C₁-C₄-halogenoalkoxy-substituted groups having the formulas



25 where the arrows mark the points of linkage to the thiazole ring, and

n represents 3, 4 or 5,

R⁴ represents bromine or chlorine, and

X⁻ represents chloride, bromide, iodide, hydrogen sulfate, ½ equivalent of sulfate, sulfate, hexachloroantimonate, methanesulfonate,

5 trifluoromethanesulfonate, p-toluenesulfonate, tetrafluoroborate, tetraphenylborate, or hexafluorophosphate,

excluding the compounds 2-bromo-3-ethyl-4-methylthiazolium tetrafluoro-

borate and 2-bromo-3-ethyl-4-methylthiazolium hexachloroantimonate,

2-chloro-3-ethyl-4-methylthiazolium tetrafluoroborate and 2-chloro-3-ethyl-

10 4-methylthiazolium hexachloroantimonate, 2-bromo-3-methyl-4-phenyl-

thiazolium tetrafluoroborate, 2-chloro-3-ethyl-4,5-dimethylthiazolium

tetrafluoroborate, and 2-chloro-3,4-dimethylthiazolium tetrafluoroborate.

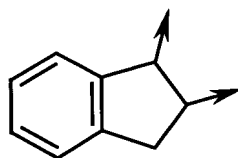
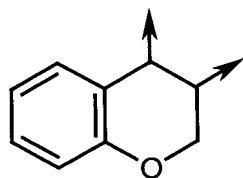
2. A compound of the formula (I) according to Claim 1 wherein

15 R¹ represents methyl, ethyl, n-propyl, hydroxyl, methylsulfonyl, ethylsulfonyl, or benzyl that is optionally substituted by fluorine and/or chlorine, methyl, ethyl, n- or i-propyl, trifluoromethyl, methoxy, ethoxy, or n- or i-propoxy,

20 R² represents methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, or benzyl or phenyl that is optionally substituted by fluorine and/or chlorine, methyl, ethyl, n- or i-propyl, methoxy, ethoxy, or n- or i-propoxy,

R³ represents hydrogen or methyl, or

25 R² and R³ together represent -(CH₂)_n- substituted by fluorine and/or chlorine, methyl, ethyl, trifluoromethyl, methoxy, ethoxy, or carbonyl or the groups having the formulas



, and

n represents 3 or 4,

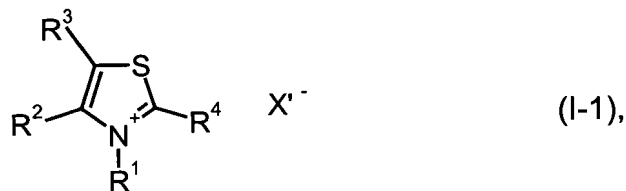
R⁴ represents bromine, and

X⁻ represents bromide, ½ equivalent of sulfate, sulfite, SbCl₆⁻, mesylate, triflate, tosylate, tetrafluoroborate, tetraphenylborate, or hexafluorophosphate.

3. A compound of the formula (I) according to Claim 1 wherein
- 5 R¹ represents methyl, ethyl, methylsulfonyl, ethylsulfonyl, or benzyl that is optionally substituted by fluorine and/or chlorine,
- R² represents methyl, ethyl, n-propyl, n-butyl, or phenyl that is optionally substituted by fluorine and/or chlorine, methyl, or ethyl,
- R³ represents hydrogen, or
- 10 R² and R³ together represent -(CH₂)_n- that is optionally substituted by fluorine and/or chlorine, methyl, ethyl, or carbonyl, and
- X⁻ represents bromide, ½ equivalent of sulfate, sulfite, or tetrafluoroborate.

4. A compound of the formula (I) according to Claim 1 wherein
- 15 R¹ represents methyl, ethyl, n-propyl, or isopropyl,
- R² represents methyl or ethyl, and
- X⁻ represents tetrafluoroborate.

5. A compound of the formula (I) according to Claim 1 wherein
- R⁴ represents bromine.
- 20 6. A process for the preparation of compounds of formula (I-1)



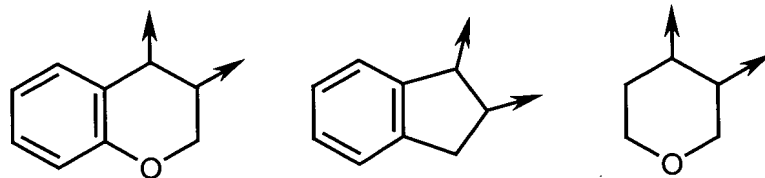
in which

- R¹ represents methyl, ethyl, n-propyl, isopropyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, or
- 25 benzyl that is optionally substituted by halogen, nitro, C₁-C₄-alkyl, or C₁-C₄-alkoxy,
- R² represents C₁-C₄-alkyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally

substituted by halogen, NO₂, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkylsulfonyl, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy, C₁-C₄-alkoxycarbonyl, C₁-C₄-halogenoalkoxycarbonyl, C₁-C₄-alkyl-carbonyloxy, or C₁-C₄-halogenoalkylcarbonyloxy, benzyl that is optionally substituted by halogen, nitro, C₁-C₄-alkyl, or C₁-C₄-alkoxy, or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, C₁-C₄-alkyl, or C₁-C₄-halogeno-alkyl,

R³ represents hydrogen, methyl, or ethyl, or

- 10 R² and R³ together represent -(CH₂)_n- that is optionally substituted by halogen, NO₂, carboxyl, carbonyl, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkoxy, or C₁-C₄-halogenoalkoxy or the optionally halogen-, NO₂-, C₁-C₄-alkyl-, C₁-C₄-halogenoalkyl-, C₁-C₄-alkoxy-, or C₁-C₄-halogenoalkoxy-substituted groups having the formulas



15 where the arrows mark the points of linkage to the thiazole ring, and n represents 3, 4 or 5,

R⁴ represents bromine or chlorine, and

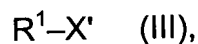
- 20 X⁻ represents chloride, bromide, iodide, hydrogen sulfate, ½ equivalent of sulfate, sulfite, SbCl₆⁻, methanesulfonate, trifluoromethane-sulfonate, or p-toluenesulfonate, comprising

(a) reacting compounds of the formula (II)



- 25 in which R², R³ and R⁴ have the meanings indicated for formula (I-1),

with alkylating reagents of the formula (III)



in which

R^1 has the meaning indicated for formula (I-1), and

5 X' represents chlorine, bromine, iodine, sulfoxy, $\frac{1}{2}$ equivalent of sulfate, sulfite, $SbCl_6^-$, methylsulfonyloxy, trifluorosulfonyloxy or toluenesulfonyloxy,
in the presence of a diluent, or

(b) reacting compounds of the formula (II)



10

in which R^2 , R^3 and R^4 have the meanings indicated for formula (I-1),

with sulfonating reagents of the formula (VII)



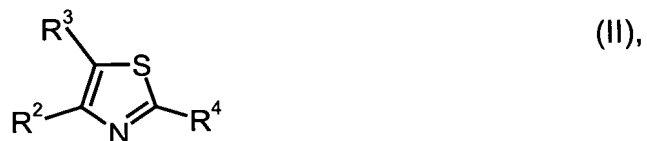
15

in which

R^1 has the meaning indicated for formula (I-1),

in the presence of a diluent, or

(c) oxidizing compounds of the formula (II)

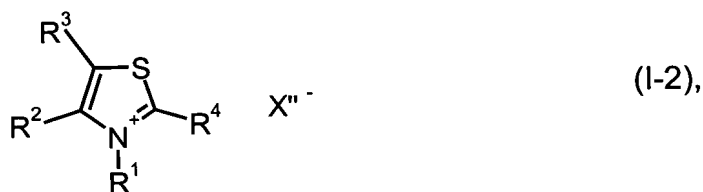


20

in which R^2 , R^3 and R^4 have the meanings indicated for formula (I-1),

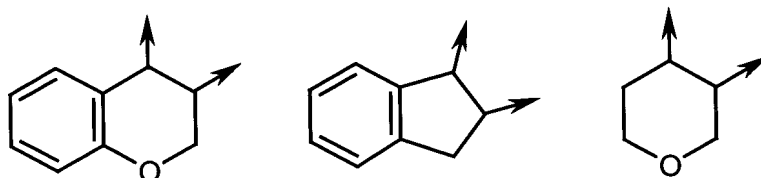
using hydrogen peroxide, peracids, or NaOCl.

7. A process for the preparation of compounds of formula (I-2)



in which

- 5 R^1 represents methyl, ethyl, n-propyl, isopropyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, or benzyl that is optionally substituted by halogen, nitro, C_1 - C_4 -alkyl, or C_1 - C_4 -alkoxy,
- 10 R^2 represents C_1 - C_4 -alkyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally substituted by halogen, NO_2 , C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkylsulfonyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -halogenoalkoxycarbonyl, C_1 - C_4 -alkylcarbonyloxy, or C_1 - C_4 -halogenoalkylcarbonyloxy, benzyl that is optionally substituted by halogen, nitro, C_1 - C_4 -alkyl, or C_1 - C_4 -alkoxy,
- 15 or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, C_1 - C_4 -alkyl, or C_1 - C_4 -halogenoalkyl,
- R^3 represents hydrogen, methyl, or ethyl, or
- 20 R^2 and R^3 together represent $-(CH_2)_n-$ that is optionally substituted by halogen, NO_2 , carboxyl, carbonyl, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, or C_1 - C_4 -halogenoalkoxy or the optionally halogen-, NO_2 -, C_1 - C_4 -alkyl-, C_1 - C_4 -halogenoalkyl-, C_1 - C_4 -alkoxy-, or C_1 - C_4 -halogenoalkoxy-substituted groups having the formulas



- 25 where the arrows mark the points of linkage to the thiazole ring, and n represents 3, 4 or 5,

R^4 represents bromine or chlorine, and

X'' represents tetrafluoroborate, tetraphenylborate, or hexafluorophosphate,

comprising

- 5 (a) reacting compounds of the formula (II)



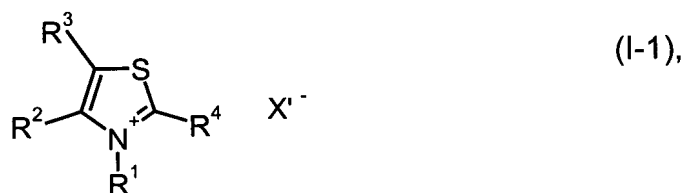
in which R^2 , R^3 and R^4 have the meanings indicated for formula (I-2),

with alkylating reagents of the formula (IV)

- 10 $(R^1)_3-O^+ X''$ (IV),

in which R^1 and X'' have the meanings indicated for formula (I-2),
in the presence of a diluent, or

- (b) exchanging the anion X'^- of compounds of the formula (I-1)



- 15 in which

R^1 , R^2 , R^3 , and R^4 have the meanings indicated for formula (I-2),

and

X' represents chlorine, bromine, iodine, sulfoxy, $\frac{1}{2}$ equivalent of sulfate, sulfate, $SbCl_6^-$, methylsulfonyloxy, trifluorosulfonyloxy
or toluenesulfonyloxy,

- 20 with tetrafluoroboric acid, tetraphenylboric acid, or hexafluorophosphoric acid or an anion exchanger loaded with tetrafluoroboric acid, tetraphenylboric acid, or hexafluorophosphoric acid so that X'' has the meaning indicated for formula (I-2).

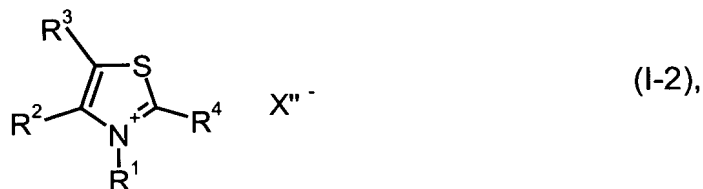
- 25 8. A condensation agent comprising a compound according to

Claim 1.

9. A peptide coupling reagent comprising a condensation agent according to Claim 8.

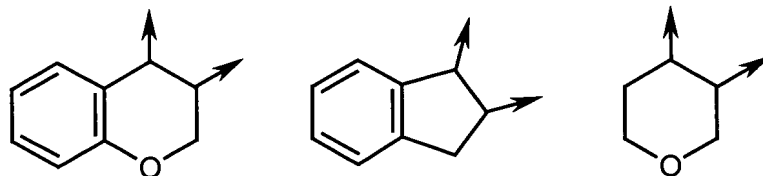
10. A method comprising synthesizing peptides with a condensation agent wherein the condensation agent is a compound according to Claim 1.

11. A compound of the formula (I-2)



in which

- 10 R^1 represents methyl, ethyl, n-propyl, isopropyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, or benzyl that is optionally substituted by halogen, nitro, C₁-C₄-alkyl, or C₁-C₄-alkoxy,
- 15 R^2 represents C₁-C₄-alkyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally substituted by halogen, NO₂, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkylsulfonyl, C₁-C₄-alkoxy, C₁-C₄-halogenoalkoxy, C₁-C₄-alkoxycarbonyl, C₁-C₄-halogenoalkoxycarbonyl, C₁-C₄-alkylcarbonyloxy, or C₁-C₄-halogenoalkylcarbonyloxy, benzyl that is optionally substituted by halogen, nitro, C₁-C₄-alkyl, or C₁-C₄-alkoxy,
- 20 or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, C₁-C₄-alkyl, or C₁-C₄-halogenoalkyl,
- R^3 represents hydrogen, methyl, or ethyl, or
- 25 R^2 and R^3 together represent $-(CH_2)_n-$ that is optionally substituted by halogen, NO₂, carboxyl, carbonyl, C₁-C₄-alkyl, C₁-C₄-halogenoalkyl, C₁-C₄-alkoxy, or C₁-C₄-halogenoalkoxy or the optionally halogen-, NO₂-, C₁-C₄-alkyl-, C₁-C₄-halogenoalkyl-, C₁-C₄-alkoxy-, or C₁-C₄-halogenoalkoxy-substituted groups having the formulas



where the arrows mark the points of linkage to the thiazole ring, and n represents 3, 4 or 5,

R^4 represents bromine or chlorine, and

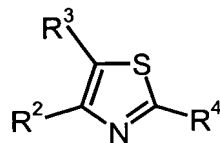
- 5 X'' represents tetrafluoroborate, tetraphenylborate, or hexafluorophosphate,

with the exception of compounds in which R^4 represents bromine and R^2 represents CH_3 when R^3 represents hydrogen or CH_3 ; in which R^4 represents chlorine and R^2 represents CH_3 when R^3 represents hydrogen; and in which R^4 represents bromine and R^2 represents ethyl when R^3 represents hydrogen.

10

12. A process for the preparation of compounds of the formula

(II)



(II),

- 15 in which

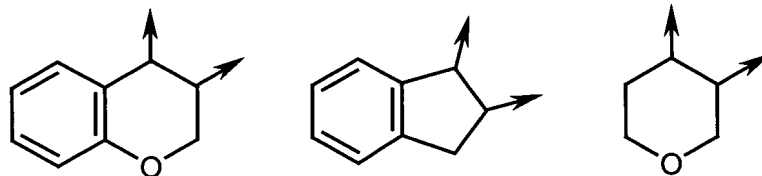
R^2 represents C_1 - C_4 -alkyl, hydroxyl, methylsulfonyl, ethylsulfonyl, phenylsulfonyl, p-methylphenylsulfonyl, phenyl that is optionally substituted by halogen, NO_2 , C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkylsulfonyl, C_1 - C_4 -alkoxy, C_1 - C_4 -halogenoalkoxy, C_1 - C_4 -alkoxycarbonyl, C_1 - C_4 -halogenoalkoxycarbonyl, C_1 - C_4 -alkylcarbonyloxy, or C_1 - C_4 -halogenoalkylcarbonyloxy, benzyl that is optionally substituted by halogen, nitro, C_1 - C_4 -alkyl, or C_1 - C_4 -alkoxy, or pyrrolyl, thienyl, naphthyl, or benzothiophenyl, each of which is optionally substituted by halogen, C_1 - C_4 -alkyl, or C_1 - C_4 -halogenoalkyl,

20

25

R^3 represents hydrogen, methyl, or ethyl, or

R^2 and R^3 together represent $-(CH_2)_n-$ that is optionally substituted by halogen, NO_2 , carboxyl, carbonyl, C_1 - C_4 -alkyl, C_1 - C_4 -halogenoalkyl, C_1 - C_4 -alkoxy, or C_1 - C_4 -halogenoalkoxy or the optionally halogen-, NO_2 -, C_1 - C_4 -alkyl-, C_1 - C_4 -halogenoalkyl-, C_1 - C_4 -alkoxy-, or C_1 - C_4 -halogenoalkoxy-substituted groups having the formulas

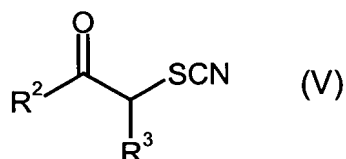


where the arrows mark the points of linkage to the thiazole ring, and n represents 3, 4 or 5, and

R^4 represents bromine or chlorine,

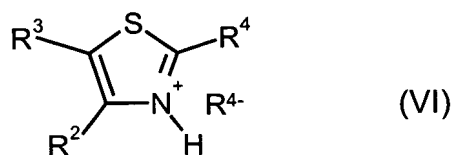
comprising

(1) reacting compounds of the formula (V)



in which

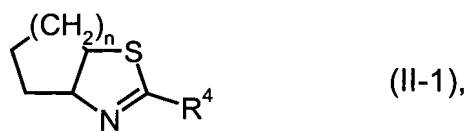
R^2 and R^3 have one of the meanings indicated for formula (II), with hydrogen bromide or hydrogen chloride in the presence of a diluent to form a compound of the formula (VI)



in which R^2 , R^3 and R^4 have one of the meanings indicated for formula (II) and R^{4-} is bromide or chloride, and

(2) releasing the hydrogen bromide or hydrogen chloride from the compound of the formula (VI).

13. A compound of the formula (II-1)



in which n represents 1 or 2.

TOP SECRET - FRODO